

L2

STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> d L1

L1 HAS NO ANSWERS

L1 SCR 963 AND 1006 AND 2076

=> s L2

SAMPLE SEARCH INITIATED 10:23:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 11 TO 389  
PROJECTED ANSWERS: 1 TO 80

L4 1 SEA SSS SAM L2

=> s L2 full  
FULL SEARCH INITIATED 10:23:47 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 235 TO ITERATE

100.0% PROCESSED 235 ITERATIONS  
SEARCH TIME: 00.00.01

23 ANSWERS

L5 23 SEA SSS FUL L2

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 172.55 172.76

FILE 'CAPLUS' ENTERED AT 10:23:52 ON 06 JUL 2007  
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FILE COVERS 1907 - 6 Jul 2007 VOL 147 ISS 3  
FILE LAST UPDATED: 5 Jul 2007 (20070705/ED)

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=> s L5

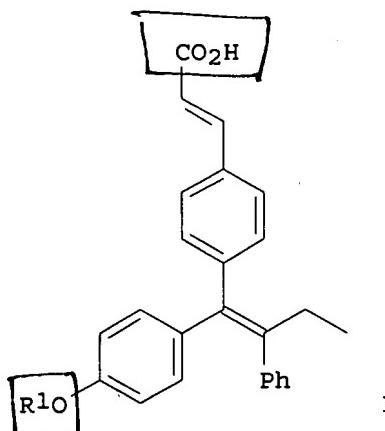
L6

2 L5

=&gt; d L6 1-2 bib abs hitstr

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:324121 CAPLUS  
 DN 142:392179  
 TI Preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs.  
 IN Eaddy, John Fred, III; Heyer, Dennis; Katamreddy, Subba Reddy; Martin, Michael Tolar; McClure, Michael Scott; Randhawa, Amarjit Sab; Samano, Vicente; Ray, John Albert  
 PA Smithkline Beecham Corporation, USA  
 SO PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005033056	A2	20050414	WO 2004-US32918	20041004
	WO 2005033056	A3	20050623		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1692127	A2	20060823	EP 2004-809876	20041004
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	US 2007111971	A1	20070517	US 2006-575038	20060406
PRAI	US 2003-509678P	P	20031008		
	US 2003-514692P	P	20031027		
	WO 2004-US32918	W	20041004		
OS	CASREACT 142:392179; MARPAT 142:392179				
GI					



AB Title compds. (I; R1 = ACO, PO3H2; A = alkyl, aryl, heteroaryl, cycloalkyl, aminoalkyl, alkoxy, alkoxyalkyl, haloalkyl, heterocyclalkyl), were prepared Thus, I (R1 = H) (preparation given) and Et3N

in THF at 5° were treated with propionyl chloride in THF followed by stirring for 1 h to give 64% I (R1 = EtCO). The latter orally in rats showed 86.6% bioavailability, vs. 5.7% for I (R1 = H).

IT 850005-11-7P 850005-12-8P 850005-13-9P  
850005-14-0P 850005-15-1P 850005-17-3P  
850005-18-4P 850005-20-8P 850005-21-9P  
850005-23-1P 850005-24-2P 850005-25-3P  
850005-26-4P 850005-27-5P 850005-28-6P  
850005-30-0P 850005-31-1P

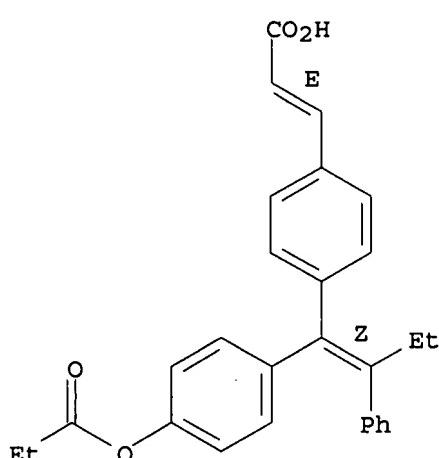
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs)

RN 850005-11-7 CAPPLUS

CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(1-oxopropoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

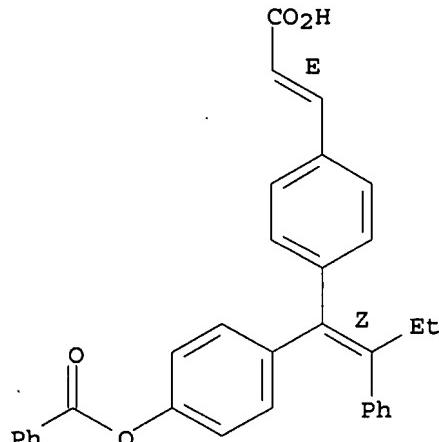
Double bond geometry as shown.



RN 850005-12-8 CAPPLUS

CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(benzoyloxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

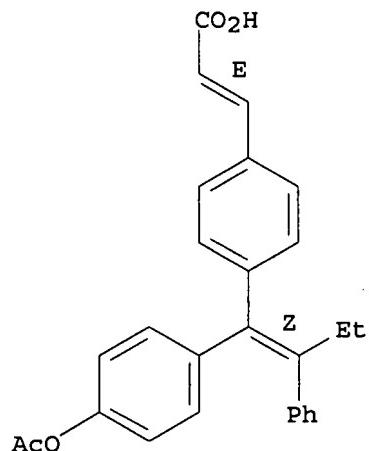


RN 850005-13-9 CAPPLUS

CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(acetyloxy)phenyl]-2-phenyl-1-

butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

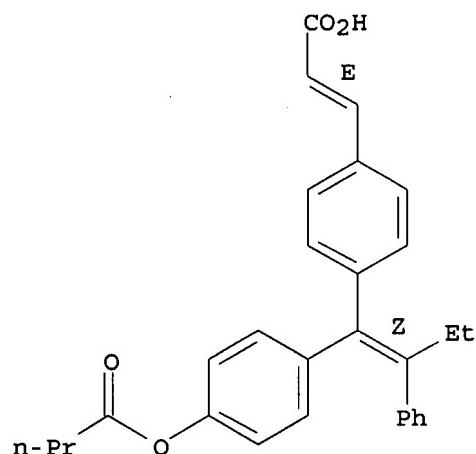
Double bond geometry as shown.



RN 850005-14-0 CAPLUS

CN Butanoic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

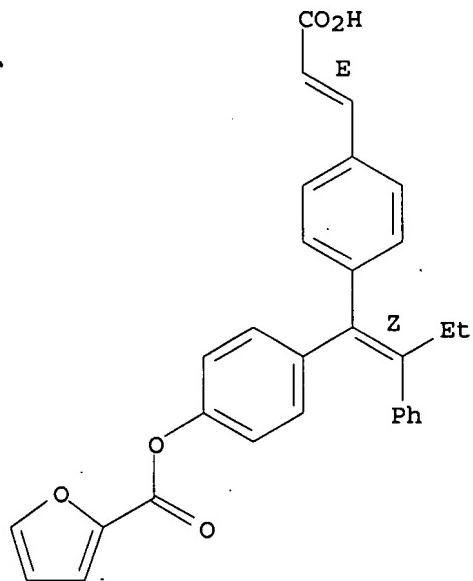
Double bond geometry as shown.



RN 850005-15-1 CAPLUS

CN 2-Furancarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850005-17-3 CAPLUS

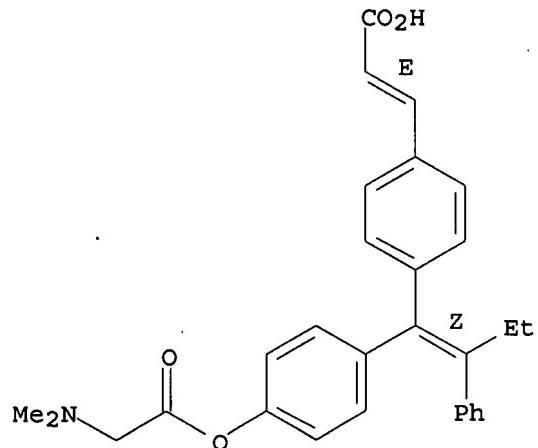
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(dimethylamino)acetyl]oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 850005-16-2

CMF C29 H29 N O4

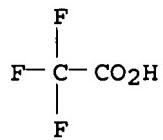
Double bond geometry as shown..



CM 2

CRN 76-05-1

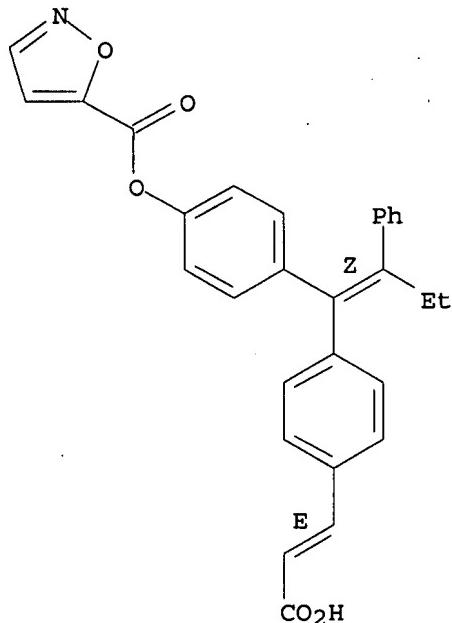
CMF C2 H F3 O2



RN 850005-18-4 CAPLUS

CN 5-Isoazolecarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850005-20-8 CAPLUS

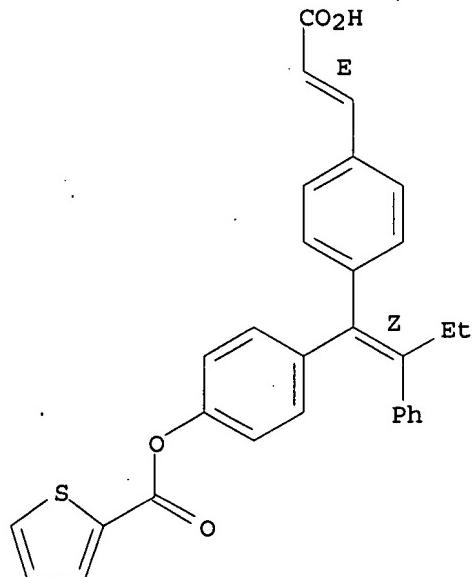
CN 2-Thiophenecarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester, compd. with trifluoroacetic acid (10:1) (9CI) (CA INDEX NAME)

CM 1

CRN 850005-19-5

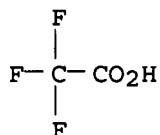
CMF C30 H24 O4 S

Double bond geometry as shown.



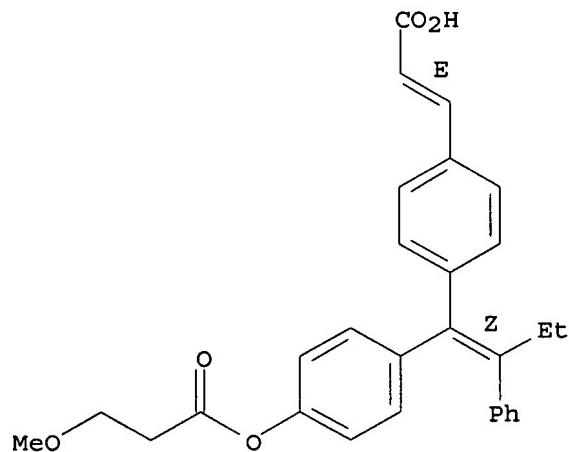
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 850005-21-9 CAPLUS  
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(3-methoxy-1-oxopropoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



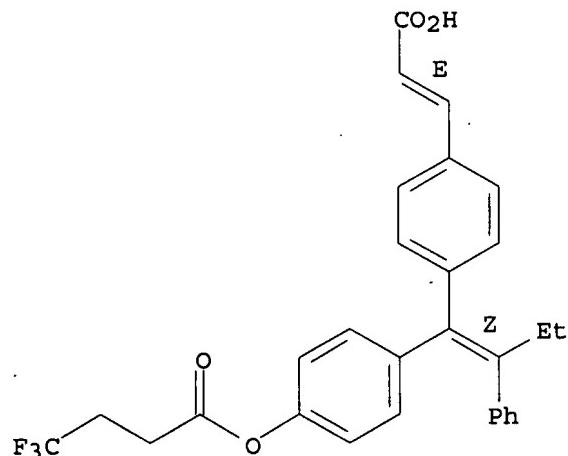
RN 850005-23-1 CAPLUS  
CN Butanoic acid, 4,4,4-trifluoro-, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester, compd. with

trifluoroacetic acid (20:7) (9CI) (CA INDEX NAME)

CM 1

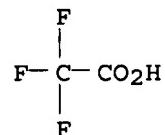
CRN 850005-22-0  
CMF C29 H25 F3 O4

Double bond geometry as shown.



CM 2

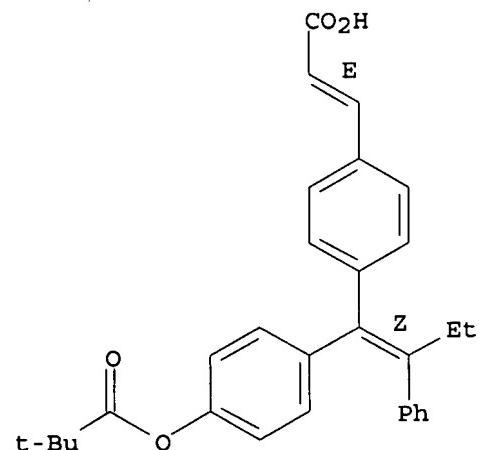
CRN 76-05-1  
CMF C2 H F3 O2



RN 850005-24-2 CAPLUS

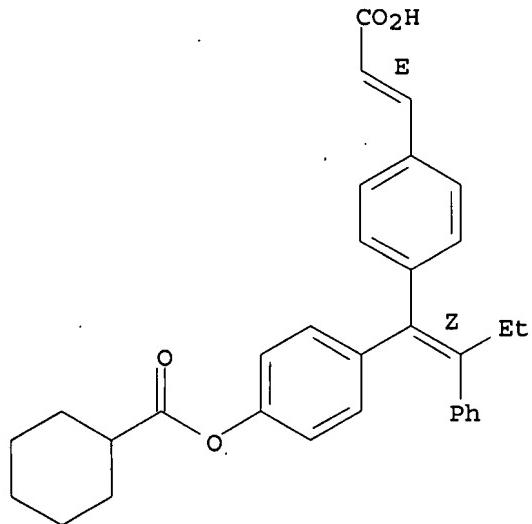
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(2,2-dimethyl-1-oxopropoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



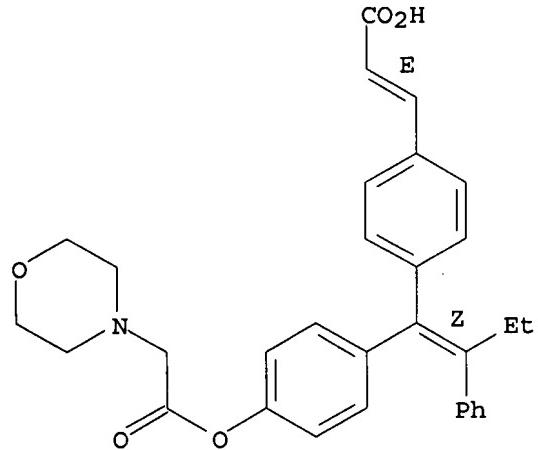
RN 850005-25-3 CAPLUS  
CN Cyclohexanecarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



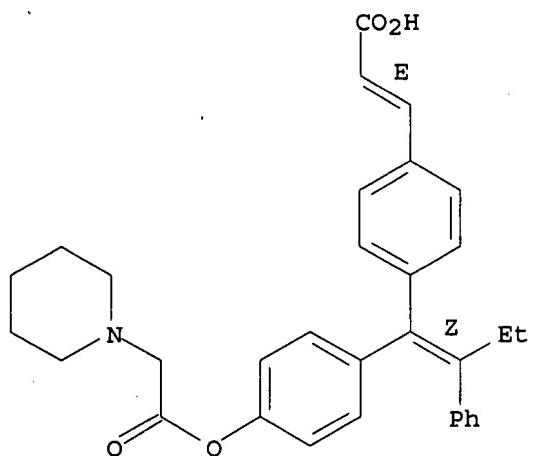
RN 850005-26-4 CAPLUS  
CN 4-Morpholineacetic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850005-27-5 CAPLUS  
CN 1-Piperidineacetic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

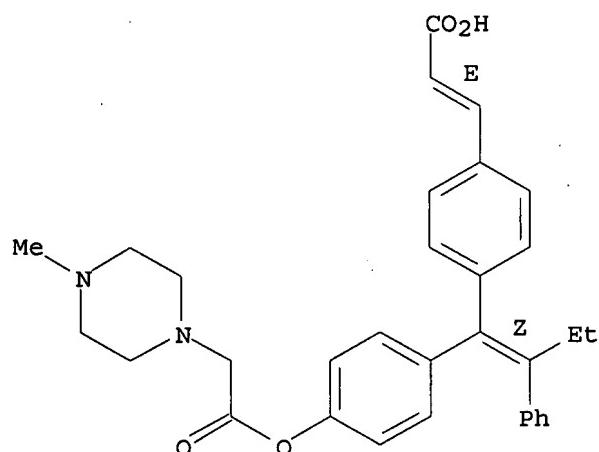
Double bond geometry as shown.



RN 850005-28-6 CAPLUS

CN 1-Piperazineacetic acid, 4-methyl-, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butene-1-yl]phenyl ester (9CI) (CA INDEX NAME)

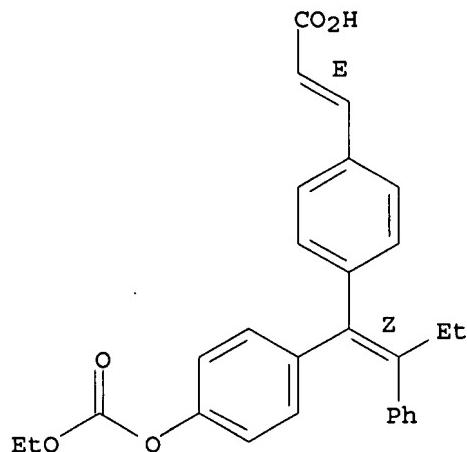
Double bond geometry as shown.



RN 850005-30-0 CAPLUS

CN 2-Propenoic acid, 3-[(1Z)-1-[(4-[(ethoxycarbonyl)oxy]phenyl)-2-phenyl-1-butene-1-yl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

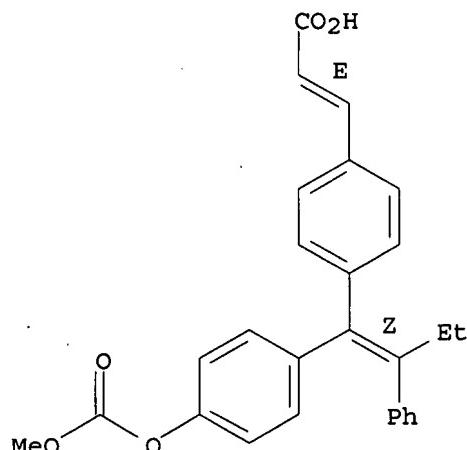
Double bond geometry as shown.



RN 850005-31-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(methoxycarbonyl)oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 850005-16-2P

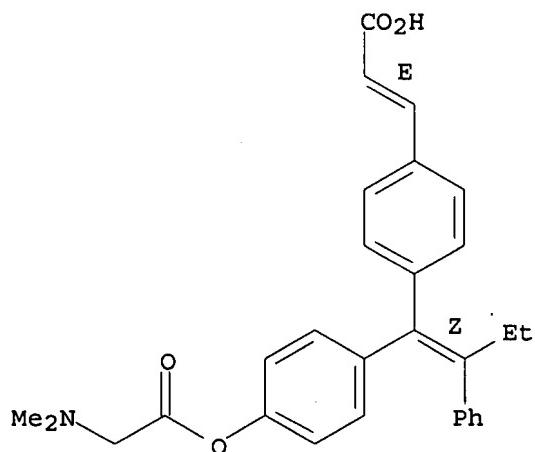
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs)

RN 850005-16-2 CAPLUS

CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(dimethylamino)acetyl]oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

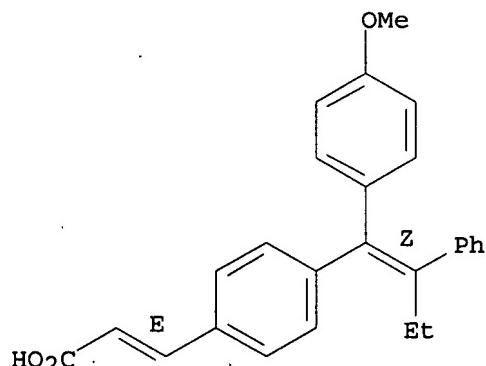
Double bond geometry as shown.



IT 850005-37-7P 850005-45-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs)

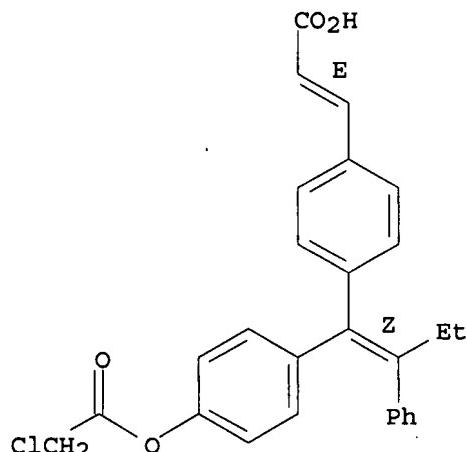
RN 850005-37-7 CAPLUS  
 CN 2-Propenoic acid, 3-[4-[(1Z)-1-(4-methoxyphenyl)-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



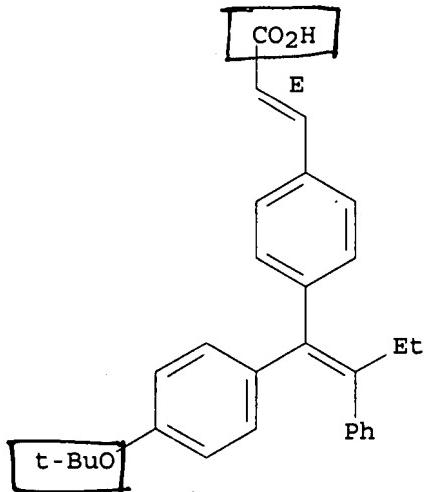
RN 850005-45-7 CAPLUS  
 CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(chloroacetyl)oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2001:430866 CAPLUS  
 DN 135:235901  
 TI Differential SERM activation of the estrogen receptors (ER $\alpha$  and ER $\beta$ ) at AP-1 sites  
 AU Weatherman, Ross V.; Clegg, Nicola J.; Scanlan, Thomas S.  
 CS Departments of Pharmaceutical Chemistry and Cellular and Molecular Pharmacology, University of California, San Francisco, CA, 94143-0446, USA  
 SO Chemistry & Biology (2001), 8(5), 427-436  
 CODEN: CBOLE2; ISSN: 1074-5521  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB Background: The selective estrogen receptor modulators (SERMs) raloxifene and tamoxifen are triphenylethylene derivs. that affect transcriptional regulation by the estrogen receptors (ER $\alpha$  and ER $\beta$ ) but show different effects in different tissues. A third triphenylethylene derivative, GW-5638, displays tissue selectivity in rats identical to that of raloxifene, suggesting that GW-5638 and raloxifene share a mechanism of action that is different from that of tamoxifen. Results: Both GW-5638 and its hydroxylated analog GW-7604 were tested for their ability to bind to ER $\alpha$  and ER $\beta$  and their ability to affect transcription of ER $\alpha$  and ER $\beta$  at a consensus estrogen response element and an ER/AP-1 response element. The drugs were found to have the same affinity for ER $\alpha$  and ER $\beta$ , although they were also found to activate transcription from an AP-1 promoter element more potently with ER $\beta$  than with ER $\alpha$ . Derivs. of GW-5638 with alterations at the carboxylic acid still showed increased ER $\beta$  potency compared to ER $\alpha$ , but the magnitude of the activation with ER $\alpha$  was much higher than with ER $\beta$ . Conclusions: Despite similar binding affinities to isolated ER $\alpha$  and ER $\beta$ , GW-5638 and GW-7604 show markedly lower EC50 values with ER $\beta$  at an AP-1-driven promoter as compared to ER $\alpha$ . This suggests that the two compds. produce a more active ER/AP-1 conformation of the ER/AP-1 transcription factor complex when bound to ER $\beta$  than when bound to ER $\alpha$ .  
 IT 361203-04-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (differential SERM activation of estrogen receptors at AP-1 sites)  
 RN 361203-04-5 CAPLUS  
 CN 2-Propenoic acid, 3-[4-[1-[4-(1,1-dimethylethoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>  
Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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